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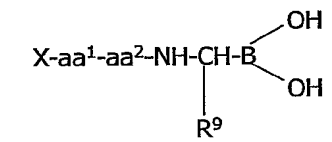
(54) Title: BORONIC ACID THROMBIN INHIBITORS



(I)

$-(CO)_a-(CH_2)_b-D_c-(CH_2)_d-E$ (A) $-(CO)_a-(CH_2)_b-D_c-C_e(E^1)(E^2)(E^3)$ (B)

(57) Abstract: A thrombin inhibitor selected from boronic acids of formula (I), and salts, prodrugs and prodrug salts thereof: wherein X is H (to form NH_2) or an amino-protecting group; aal is an amino acid residue having a side chain selected from formula (A) and (B)-$(CO)_a-(CH_2)_b-D_c-(CH_2)$d-E (A), $-(CO)_a-(CH_2)_b-D_c-C_e(E^1)(E^2)(E^3)$ wherein E^1, E^2 and E^3 ore 5-6 membered saturated or unsaturated hydrocarbyl rings, or one of E^1 , E^2 and E^3 is hydrogen and the other two are a said hydrocarbyl ring, E, E^1, E^2 and E^3 optionally being halogenated when saturated and mandatorily being halogenated when unsaturated, a particular halogen being fluorine; aa^2 is a residue of an amino acid which binds to the thrombin S2 subsite; and R^9 is a straight chain alkyl group interrupted by one or more ether linkages or R^9 is -(CH2)m W and W is -OH or halogen.

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